

- (viii) $\text{C}_1\text{-C}_6$ - thioalkoxy - $\text{C}_1\text{-C}_6$ - alkyl or benzyl- S - $\text{C}_1\text{-C}_6$ - alkyl, (ix) $\text{C}_1\text{-C}_6$ - alkylamino, (x) di - $\text{C}_1\text{-C}_6$ - alkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, $\text{C}_1\text{-C}_6$ - loweralkyl, hydroxy, $\text{C}_1\text{-C}_6$ - alkoxy [and] benzyloxy, $\text{C}_1\text{-C}_6$ - thioalkoxy and benzyl-S-, (xii) phenyl - $\text{C}_1\text{-C}_6$ - alkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) di - $\text{C}_1\text{-C}_6$ - alkylamino - $\text{C}_1\text{-C}_6$ - alkyl, (xiv) $\text{C}_1\text{-C}_6$ - alkoxy or benzyloxy and (xv) $\text{C}_1\text{-C}_6$ - thioalkoxy or benzyl-S-;

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n is 1, 2 or 3;

R₂ is hydrogen or $\text{C}_1\text{-C}_6$ - loweralkyl;

R₃ is $\text{C}_1\text{-C}_6$ - loweralkyl;

R₄ and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from

- (i) halo, (ii) $\text{C}_1\text{-C}_6$ - loweralkyl, (iii) hydroxy, (iv) $\text{C}_1\text{-C}_6$ - alkoxy or benzyloxy and (v) $\text{C}_1\text{-C}_6$ - thioalkoxy or benzyl-S-;

R₆ is hydrogen or $\text{C}_1\text{-C}_6$ - loweralkyl;

R₇ is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with $\text{C}_1\text{-C}_6$ - loweralkyl;

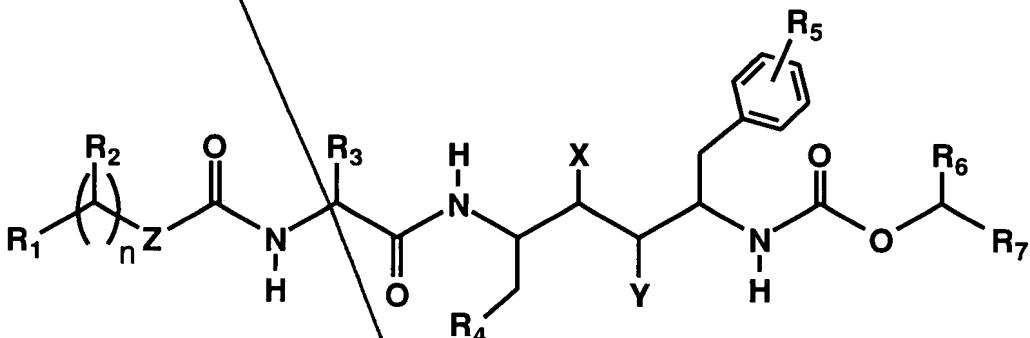
X is hydrogen and Y is -OH or X is -OH and Y is hydrogen, with the proviso that X is hydrogen and Y is -OH when Z is -N(R₈)- and R₇ is unsubstituted and with the proviso that X is hydrogen and Y is -OH when R₃ is methyl and R₇ is unsubstituted; and

Z is absent, -O-, -S-, -CH₂- or -N(R₈)- wherein R₈ is $\text{C}_1\text{-C}_6$ - loweralkyl, $\text{C}_3\text{-C}_7$ - cycloalkyl, -OH or -NHR_{8a} wherein R_{8a} is hydrogen, $\text{C}_1\text{-C}_6$ - loweralkyl or an N-protecting group; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R^{*}C(O)- or R^{*}C(S)- wherein R^{*} is hydrogen, C₁-C₆- loweralkyl, halo - C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S-, C₁-C₆- alkoxy - C₁-C₆- alkyl, benzyloxy - C₁-C₆- alkyl, C₁-C₆- thioalkoxy - C₁-C₆- alkyl, benzyl-S- C₁-C₆- alkyl or halo - C₁-C₆- alkoxy, (ii) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁-C₆- loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁-C₆- loweralkyl and halo - C₁-C₆- alkyl, (iii) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁-C₆- loweralkyl, benzyl, C₃-C₇- cycloalkyl - C₁-C₆- alkyl.

C₁-C₆- alkanoyl or benzoyl. (iv) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl. (v) H₂O₃P- or (vi) -C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁-C₆- loweralkyl, halo-C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S- or halo-C₁-C₆- alkoxy and R_g is hydrogen, C₁-C₆- loweralkyl, halo-C₁-C₆- alkyl, C₁-C₆- alcoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C₁-C₆- alkylaminocarbonyl or di-C₁-C₆- alkylaminocarbonyl.

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2. (twice amended) A compound of the formula:



wherein R₁ is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the substituent is selected from (i) C₁-C₆- loweralkyl, (ii) C₂-C₆- loweralkenyl, (iii) C₃-C₇- cycloalkyl, (iv) C₃-C₇- cycloalkyl-C₁-C₆- alkyl, (v) C₅-C₇- cycloalkenyl, (vi) C₅-C₇- cycloalkenyl-C₁-C₆- alkyl, (vii) C₁-C₆- alkoxy-C₁-C₆- alkyl or benzyloxy-C₁-C₆- alkyl, (viii) C₁-C₆- thioalkoxy-C₁-C₆- alkyl or benzyl-S-C₁-C₆- alkyl, (ix) C₁-C₆- alkylamino, (x) di-C₁-C₆- alkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, C₁-C₆- loweralkyl, hydroxy, C₁-C₆- alkoxy [and] benzyloxy, C₁-C₆- thioalkoxy and benzyl-S-, (xii) phenyl-C₁-C₆- alkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) di-C₁-C₆- alkylamino-C₁-C₆- alkyl, (xiv) C₁-C₆- alkoxy or benzyloxy and (xv) C₁-C₆- thioalkoxy or benzyl-S-;

n is 1 [2 or 3] ;

R₂ is hydrogen or C₁-C₆- loweralkyl;

R₃ is C₁-C₆- loweralkyl;

R₄ is phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from (i) halo, (ii) C₁-C₆- loweralkyl, (iii) hydroxy, (iv) C₁-C₆- alkoxy or benzyloxy and

(v) C₁-C₆-thioalkoxy or benzyl-S-;

R₅ is hydrogen, halo, C₁-C₆-loweralkyl, hydroxy, C₁-C₆-alkoxy, benzyloxy [or].

, C₁-C₆-thioalkoxy or benzyl-S-;

R₆ is hydrogen or C₁-C₆-loweralkyl;

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R₇ is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C₁-C₆-loweralkyl;

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X is hydrogen and Y is -OH [or X is -OH and Y is hydrogen, with the proviso that X is hydrogen and Y is -OH when Z is -N(R₈)- and R₇ is unsubstituted and with the proviso that X is hydrogen and Y is -OH when R₃ is methyl and R₇ is unsubstituted];

Z is absent, -O-, -S-, -CH₂- or -N(R₈)- wherein R₈ is C₁-C₆-loweralkyl, C₃-C₇-cycloalkyl, -OH or -NHR_{8a} wherein R_{8a} is hydrogen, C₁-C₆-loweralkyl or an N-protecting group; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R^{*}C(O)- or R^{*}C(S)- wherein R^{*} is hydrogen, C₁-C₆-loweralkyl, halo-C₁-C₆-alkyl,

C₁-C₆-alkoxy, benzyloxy, C₁-C₆-thioalkoxy, benzyl-S-, C₁-C₆-alkoxy-C₁-C₆-alkyl, benzyloxy-C₁-C₆-alkyl, C₁-C₆-thioalkoxy-C₁-C₆-alkyl, benzyl-S-C₁-C₆-alkyl or halo-C₁-C₆-alkoxy, (ii) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁-C₆-loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁-C₆-loweralkyl and halo-C₁-C₆-alkyl,

(iii) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁-C₆-loweralkyl, benzyl, C₃-C₇-cycloalkyl-C₁-C₆-alkyl,

C₁-C₆-alkanoyl or benzoyl, (iv) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl,

(v) H₂O₃P- or (vi) -C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁-C₆-loweralkyl, halo-C₁-C₆-alkyl, C₁-C₆-alkoxy, benzyloxy, C₁-C₆-thioalkoxy, benzyl-S- or halo-C₁-C₆-alkoxy and R_g is hydrogen, C₁-C₆-loweralkyl, halo-C₁-C₆-alkyl, C₁-C₆-alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C₁-C₆-alkylaminocarbonyl or di-C₁-C₆-alkylaminocarbonyl.

3. (twice amended) The compound of Claim 2 wherein [R₁ is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the substituent is selected from (i) loweralkyl, (ii) loweralkenyl, (iii) cycloalkyl, (iv) cycloalkylalkyl, (v) cycloalkenyl, (vi) cycloalkenylalkyl, (vii) alkoxyalkyl, (viii) thioalkoxyalkyl, (ix) alkylamino, (x) dialkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, loweralkyl, hydroxy, alkoxy and thioalkoxy, (xii) phenylalkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) dialkylaminoalkyl, (xiv) alkoxy and (xv) thioalkoxy; n is 1;] R₂ is hydrogen; R₄ is phenyl [or thiazolyl] ; R₅ is hydrogen; R₆ is hydrogen and R₇ is thiazolyl or oxazolyl .

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4. (twice amended) The compound of [Claim 2] Claim 3 wherein [R₁ is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is selected from (i) loweralkyl, (ii) loweralkenyl, (iii) cycloalkyl, (iv) cycloalkylalkyl, (v) cycloalkenyl, (vi) cycloalkenylalkyl, (vii) alkoxyalkyl, (viii) thioalkoxyalkyl, (ix) alkylamino, (x) dialkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, loweralkyl, hydroxy, alkoxy and thioalkoxy, (xii) phenylalkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) dialkylaminoalkyl, (xiv) alkoxy and (xv) thioalkoxy; n is 1; R₂ is hydrogen; R₄ is phenyl; R₅ is hydrogen; R₆ is hydrogen and] R₇ is 5-thiazolyl or 5-oxazolyl .

5. (twice amended) The compound of Claim 2 wherein R₁ is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is C₁-C₆-loweralkyl; [n is 1]; R₂ is hydrogen; R₄ is phenyl; R₅ is hydrogen; R₆ is hydrogen; R₇ is 5-thiazolyl or 5-oxazolyl ; and Z is -O- or -N(R₈)- wherein R₈ is C₁-C₆-loweralkyl.

6. (twice amended) The compound of Claim 2 wherein R₁ is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; [n is 1]; R₂ is hydrogen; R₃ is methyl or isopropyl; R₄ is phenyl; R₅ is hydrogen; R₆ is hydrogen; R₇ is 5-thiazolyl or 5-oxazolyl ; and Z is -O-.

7. (twice amended) The compound of Claim 2 wherein R₁ is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; [n is 1]; R₂ is hydrogen; R₃ is isopropyl; R₄ is phenyl; R₅ is hydrogen; R₆ is hydrogen; R₇ is 5-thiazolyl or 5-oxazolyl ; and Z is -N(R₈)- wherein R₈ is methyl [; X is hydrogen and Y is -OH] .

8. (amended) (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof , wherein the acyl residue of the ester is (i) R^{*}C(O)- or R^{*}C(S)- wherein R^{*} is hydrogen, C₁-C₆-loweralkyl, halo-C₁-C₆-alkyl, C₁-C₆-alkoxy, benzyloxy, C₁-C₆-thioalkoxy,

benzyl-S- C₁- C₆- alkoxy - C₁- C₆- alkyl, benzyloxy - C₁- C₆- alkyl, C₁- C₆- thioalkoxy - C₁- C₆- alkyl, benzyl-S- C₁- C₆- alkyl or halo - C₁- C₆- alkoxy, (ii) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁- C₆- loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁- C₆- loweralkyl and halo - C₁- C₆- alkyl,
(iii) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁- C₆- loweralkyl, benzyl, C₃- C₇- cycloalkyl - C₁- C₆- alkyl, C₁- C₆- alkanoyl or benzoyl, (iv) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl,
(v) H₂O₃P- or (vi) -C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula
-CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁- C₆- loweralkyl, halo - C₁- C₆- alkyl, C₁- C₆- alkoxy, benzyloxy, C₁- C₆- thioalkoxy, benzyl-S- or halo - C₁- C₆- alkoxy and R_g is hydrogen, C₁- C₆- loweralkyl, halo - C₁- C₆- alkyl, C₁- C₆- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C₁- C₆- alkylaminocarbonyl or di-C₁- C₆- alkylaminocarbonyl.

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9. (amended) (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)-amino)carbonylvalinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (I) R*C(O)- or R*C(S)- wherein R* is hydrogen, C₁- C₆- loweralkyl, halo - C₁- C₆- alkyl, C₁- C₆- alkoxy, benzyloxy, C₁- C₆- thioalkoxy, benzyl-S-, C₁- C₆- alkoxy - C₁- C₆- alkyl, benzyloxy - C₁- C₆- alkyl, C₁- C₆- thioalkoxy - C₁- C₆- alkyl, benzyl-S- C₁- C₆- alkyl or halo - C₁- C₆- alkoxy, (ii) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁- C₆- loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁- C₆- loweralkyl and halo - C₁- C₆- alkyl,
(iii) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁- C₆- loweralkyl, benzyl, C₃- C₇- cycloalkyl - C₁- C₆- alkyl, C₁- C₆- alkanoyl or benzoyl, (iv) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl,
(v) H₂O₃P- or (vi) -C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula
-CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁- C₆- loweralkyl, halo - C₁- C₆- alkyl, C₁- C₆- alkoxy, benzyloxy, C₁- C₆- thioalkoxy, benzyl-S- or halo - C₁- C₆- alkoxy and R_g is hydrogen, C₁- C₆- loweralkyl, halo - C₁- C₆- alkyl, C₁- C₆- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl,

C₁-C₆- alkylaminocarbonyl or di - C₁-C₆- alkylaminocarbonyl

10. (twice amended) A compound selected from the group consisting of:
- (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)-amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-2-(N-(N-((2-isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)-valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)-valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-oxazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; **and**
- (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (I) R^{*}C(O)- or R^{*}C(S)- wherein R^{*} is hydrogen, C₁-C₆- loweralkyl, halo-C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S-, C₁-C₆- alkoxy-C₁-C₆- alkyl, benzyloxy-C₁-C₆- alkyl, C₁-C₆- thioalkoxy-C₁-C₆- alkyl, benzyl-S-C₁-C₆- alkyl or halo-C₁-C₆- alkoxy, (II) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁-C₆- loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁-C₆- loweralkyl and halo-C₁-C₆- alkyl, (III) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁-C₆- loweralkyl, benzyl, C₃-C₇- cycloalkyl-C₁-C₆- alkyl, C₁-C₆- alkanoyl or benzoyl, (IV) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl, (V) H₂O₃P- or (VI) -C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁-C₆- loweralkyl, halo-C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S- or halo-C₁-C₆- alkoxy and R_g is hydrogen, C₁-C₆- loweralkyl, halo-C₁-C₆- alkyl, C₁-C₆- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C₁-C₆- alkylaminocarbonyl or di-C₁-C₆- alkylaminocarbonyl.

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B 2
12. (amended) A method for inhibiting HIV protease comprising administering to a human in need thereof a therapeutically effective amount of a compound of [Claim 1] Claim 29.¹⁰

B 3
15. (amended) A method for inhibiting ¹⁹ an HIV infection comprising administering to a human in need thereof a therapeutically effective amount of a compound of [Claim 1] Claim 29.¹⁰

B 4
1029. (amended) (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.

[] Please add the following new claims:

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-- 30. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 29.¹⁰

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31. A pharmaceutical composition for inhibiting an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 29.¹⁰

B 5
B 3
32. A compound selected from the group consisting of:

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclohexyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,1-dimethyl)ethyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclopentenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclohexenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclopentenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclohexenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl-1-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl-1-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
D 3 cont
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,2-dimethyl-1-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
B 5 cont
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclopentyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-phenyl)ethyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-chloro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropoxy-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazoly)-methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)-amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-propyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl)propyl-4-thiazoly)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
*D 3
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(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl)propyl-4-thiazoly)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
*B 5
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(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-ethyl)propyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Ethyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Cyclopropyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-(1-(2-Isopropyl-4-thiazoly)ethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Cyclopropyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-oxazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-oxazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((4-Isopropyl-2-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-(N,N-Diethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((N-Methyl-N-(((N,N-dimethylamino)-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(methoxymethyl)-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2-methyl-5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)thiomethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-(3-(2-Isopropyl-4-thiazoly)propanoyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((1-(5-thiazoly)ethoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-2-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-5-(N-((2-methyl-5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopentyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclohexyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-(N-Methyl-N-((2-(1,1-dimethyl)ethyl-4-thiazoly)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclobutyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopropyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

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(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclopentenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclohexenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclopentenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclohexenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,2-dimethyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclopentyl)methyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclohexyl)methyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-benzyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-phenyl)ethyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-(5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

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(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl-1-ethenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-chloro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-thiazolyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-thiazolyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-ethyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

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(2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-2-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-pentyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2-isopropyl)-5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-(2-isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-2-(N-(N-((2-(2-isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)acetyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-(1-Propyl)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-(Isobutyl)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

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B5
cont'd

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-(2-(2-isopropyl-4-thiazolyl)ethyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
(2S,3S,5S)-5-(N-(N-(N-(tert-Butyloxycarbonylamino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and
(2S,3S,5S)-5-(N-(N-(Amino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane Hydrochloride;
or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R*C(O)- or R*C(S)- wherein R* is hydrogen, C₁-C₆- loweralkyl, halo - C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S-, C₁-C₆- alkoxy - C₁-C₆- alkyl, benzyloxy - C₁-C₆- alkyl, C₁-C₆- thioalkoxy - C₁-C₆- alkyl, benzyl-S- C₁-C₆- alkyl or halo - C₁-C₆- alkoxy, (ii) R_a-C(R_b)(R_d)-C(O)- or R_a-C(R_b)(R_d)-C(S)- wherein R_b and R_d are independently selected from hydrogen or C₁-C₆- loweralkyl and R_a is -N(R_e)(R_f), -OR_e or -SR_e wherein R_e and R_f are independently selected from hydrogen, C₁-C₆- loweralkyl and halo - C₁-C₆- alkyl, (iii) R₁₈₀NH(CH₂)₂NHCH₂C(O)- or R₁₈₀NH(CH₂)₂OCH₂C(O)- wherein R₁₈₀ is hydrogen, C₁-C₆- loweralkyl, benzyl, C₃-C₇- cycloalkyl - C₁-C₆- alkyl, C₁-C₆- alkanoyl or benzoyl, (iv) -C(O)CH₂NR₂₀₀R₂₀₁ wherein the group -NR₂₀₀R₂₀₁ forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl, (v) H₂O₃P- or (vi) C(O)CH₂CH₂COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R_g)OC(O)R₁₈₁ or -CH(R_g)OC(S)R₁₈₁ wherein R₁₈₁ is C₁-C₆- loweralkyl, halo - C₁-C₆- alkyl, C₁-C₆- alkoxy, benzyloxy, C₁-C₆- thioalkoxy, benzyl-S- or halo - C₁-C₆- alkoxy and R_g is hydrogen, C₁-C₆- loweralkyl, halo - C₁-C₆- alkyl, C₁-C₆- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C₁-C₆- alkylaminocarbonyl or di - C₁-C₆- alkylaminocarbonyl. --

REMARKS

This is a response to the Office Action (made final) dated December 28, 1994. In the Office Action, the Examiner has (1) objected to the specification and rejected Claims 1-7 and 12-20 under 35 U.S.C. 112 (first and second paragraphs), (2) rejected Claims 8 and 29 under 35 U.S.C. 112 (second paragraph) and (3) objected to Claims 9-10. In this response, Applicants request reconsideration of the rejections.

In this response, Applicants also submit an inventorship amendment.